Title: Methylene-bis(phosphonate) Derivatives for Modification of Biomolecules
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Abstract

The aim of this work was to prepare derivatives of methylen-bis(phosphonic) acid. Thirty compounds (from which 29 are new) were prepared with moderate or good yields. All compounds were fully characterized by NMR and MS. Four new crystal structures were obtained by RTG monocrystal analysis. Derivative containing 4-nitrobenzyl group in the side chain was used for study of bis(phosphonates) adsorption parametres to hydroxyapatite (with quantification by UV-Vis spectroscopy). Several derivatives containing characteristic functional groups (—NH_2, —COOH, —NCS) were prepared. These compound are suitable for further derivatization, mainly for conjugation with more complex structures through amide bond or thiourea bridge. Conjugates of building blocks with fluorescent probe (fluorescein and dansyl) were prepared for potencial optical imaging. Further modification of one of the dansyl derivative afforded trifunctional molecule – contating bis(phosphonate) as a vector for a bone tissue, a fluorescent probe for a possible fluorescent imaging and a free carboxyl group, suitable for further derivatization. Two prepared compounds were used for adsorption studies with hydroxyapatite, commonly used as model of bone tissue.

Keywords: bis(phosphonate), building block, targeting, sorptions, bone tissue